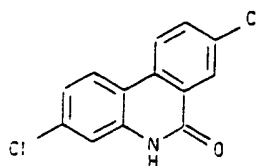
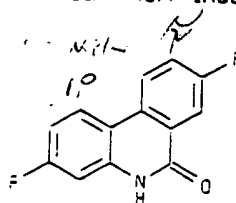


ZCAPLUS COPYRIGHT 1999 ACS

AN 1970:435200 ZCAPLUS  
 ON 73:35200  
 TI 6(5H)-phenanthridinones. III. Halo-6(5H)phenanthridinones(1,2)  
 AU Pan, Hsi-Lung; Fletcher, T. Lloyd  
 CS Sch. of Med., Univ. of Washington, Seattle, Wash., USA  
 SO J. Heterocycl. Chem. (1970), 7(3), 597-605  
 CODEN: JHTCAO  
 DT Journal  
 LA English  
 IT 22771-43-3P 23818-35-1P 23818-37-3P 23818-38-4P 23818-40-8P 23818-41-9P 23818-43-1  
 P 23818-44-2P 23827-02-3P 23827-03-4P 27282-46-8P 27353-44-2P 27353-46-4P 27353-47-5  
 P 27353-48-6P 27353-49-7P 27353-50-0P 27353-51-1P 27353-52-2P 27353-53-3P 27353-54-4  
 P 27353-55-5P 27353-56-6P 27353-57-7P 27353-58-8P 27353-59-9P 27353-61-3P 27353-62-4  
 P 27353-63-5P 27375-01-5P 27375-02-6P 27375-03-7P 27375-04-8P 27375-05-9P  
 (prepn. of)  
 RN 22771-43-3 ZCAPLUS  
 CN 6(5H)-Phenanthridinone, 3,8-dichloro- (8CI, 9CI) (CA INDEX NAME)



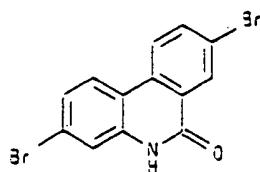
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 CN 6(5H)-Phenanthridinone, 3,8-difluoro- (8CI) (CA INDEX NAME)



RN 23818-37-3 ZCAPLUS  
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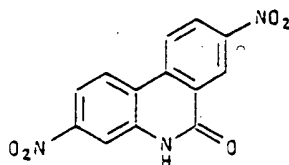
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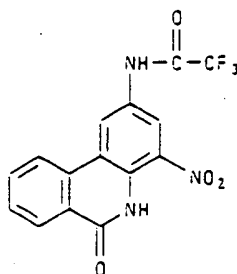
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CN 6(5*H*)-Phenanthridinone, 3,8-dinitro- (6CI, 8CI, 9CI) (CA INDEX NAME)



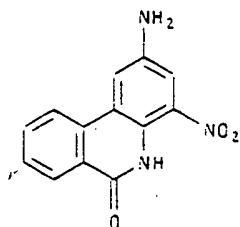
RN 23818-40-8 ZCAPLUS

CN Acetamide, *N*-(5,6-dihydro-4-nitro-6-oxo-2-phenanthridinyl)-2,2,2-trifluoro- (8CI) (CA INDEX NAME)



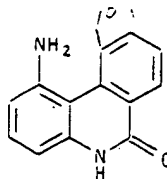
RN 23818-41-9 ZCAPLUS

CN 6(5*H*)-Phenanthridinone, 2-amino-4-nitro- (8CI, 9CI) (CA INDEX NAME)

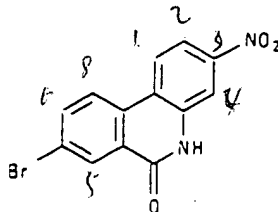


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AN 1970:121337 ZCAPLUS  
 DN 72:121337  
 TI 6(5H)-phenanthridinones. II. Preparation of substituted 6(5H)-phenanthridinones from 9-oxofluorenes  
 AU Pan, Hsi-Lung; Fletcher, T. Lloyd  
 CS Sch. of Med., Univ. of Washington, Seattle, Wash., USA  
 SO J. Heterocycl. Chem. (1970), 7(2), 313-21  
 CODEN: JHTCAD  
 DT Journal  
 LA English  
 IT 17613-44-4P 26689-63-4P 26689-64-5P 26689-65-6P 26689-66-7P 26689-67-8P 26689-68-9P 26689-69-0P 26689-70-3P 26689-98-5P 26689-99-6P 26690-00-6P 26690-02-8P 26690-03-9P 26690-04-0P 26844-82-6P 26844-83-7P 26844-84-8P  
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 RN 17613-44-4 ZCAPLUS  
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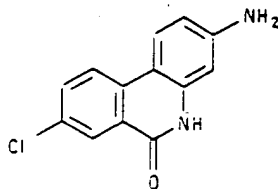


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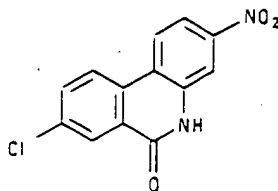
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 CN 6(5H)-Phenanthridinone, 3-amino-8-chloro- (8CI) (CA INDEX NAME)

RN 26689-64-5 ZCAPLUS



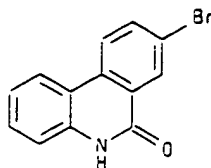
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CN 6(5H)-Phenanthridinone, 8-chloro-3-nitro- (8CI) (CA INDEX NAME)



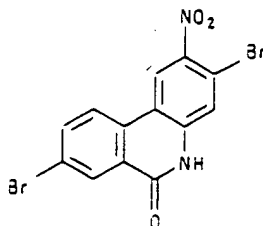
RN 26689-66-7 ZCAPLUS

CN 6(5H)-Phenanthridinone, 8-bromo- (8CI) (CA INDEX NAME)



RN 26689-67-8 ZCAPLUS

CN 6(5H)-Phenanthridinone, 3,8-dibromo-2-nitro- (8CI) (CA INDEX NAME)



RN 26689-68-9 ZCAPLUS

CN 6(5H)-Phenanthridinone, 3,8-dichloro-2-nitro- (8CI) (CA INDEX NAME)

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AN 1995:416436 ZCAPLUS

DN 122:170250

TI Pharmaceutical compositions containing inhibitors of proteic ADP ribosylation are useful to prevent the diabetes mellitus complications

IN Gorio, Alfredo; Borella, Fabio

PA Istituto Biochimico Italiano Giovanni Lorenzini S.p.A., Italy

SO Eur. Pat. Appl., 17 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP-638309	A1	19950215	94EP-0110805	19940712

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE

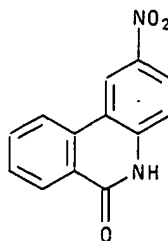
PRAI 93IT-MIO1554 19930714

IT 78256-30-1, 2-Nitro-6-(5H)-phenanthridinone

(pharmaceutical compns. contg. inhibitors of proteic ADP ribosylation for prevention of diabetes mellitus complications)

RN 78256-30-1 ZCAPLUS

CN 6(5H)-Phenanthridinone, 2-nitro- (6CI, 9CI) (CA INDEX NAME)



AB Pharmaceutical compns. contg. inhibitors of proteic ADP ribosylation are useful to prevent the diabetes mellitus complications such as neuropathies, nephropathies, retinopathies, macroangiopathies, microangiopathies, and hepatopathies. The effectiveness of vitamin K1 in decreasing blood glucose level of diabetic rats is reported. A hard gelatin pearl contained vitamin K1 10, lactose 62, maize starch 27, and Mg stearate 1mg.

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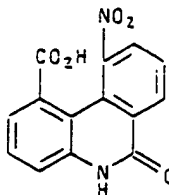
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AN CA62:5259f CAOLD

IT 793-95-3

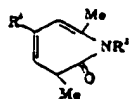
RN 793-95-3 CAOLD

CN 1-Phenanthridinecarboxylic acid, 5,6-dihydro-10-nitro-6-oxo- (7CI, 9CI) (CA INDEX NAME)



.....

3.5 g. 51.5% NaH suspension, and 10.9 g. EtBr was prepd. 9.8 g. IIb, b.p. 115–19°,  $n_D^{20}$  1.5070. A 14.5 g. yield of IIa was also prepd. by adding 16.4 g. of CINHMe in 250 ml. Et<sub>2</sub>O at –70° to the Na phenolate from 6.9 g. Na in 167 g. 2,4,6-trimethylphenol at 120–40°. From 16.4 g. CINHMe and 6.9 g. Na in 150 g. 2,8-dimethylphenol was recovered 7.1 g. III, b.p. 109–15°. Hydro-

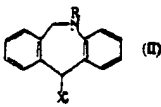
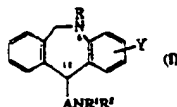


(I, R' = Me, R' = H)  
(IIa, R' = Me, R' = Me)  
(IIb, R' = Me, R' = Et)  
(III, R' = H, R' = Me)

genation of 33 g. IIa in the presence of 0.3 g. Pt oxide gave 1,3,5,7-tetramethylhexahydroazepin-2-one (IV). Redn. of 18.9 g. IV with 3.8 g. LiAlH<sub>4</sub> in 150 ml. Et<sub>2</sub>O gave 1,2,4,8-tetramethylhexahydroazepine. II are useful as fungicides and as comonomers with other lactams in prepg. polyamide copolymers.

E. Plueddemann

Substituted 5,6-dihydromorphanthridines. Lakeside Laboratories, Inc. (by Alexander B. Drukker and Claude I. Judd). Fr. 1,372,741 (Cl. A 61k, C 07d), Sept. 18, 1964; U.S. Appl. Sept. 12, 1962 and Aug. 23, 1963; 40 pp. The title compds. (I) were synthesized by the conversion of 5-substituted-5,6-dihydromorphanthridines to C-11 metal salts and subsequent reaction



with the appropriate halides. Thus, a soln. of 31.4 g. 5-methyl-5,6-dihydromorphanthridine (II, X = H, R = Me) in 265 cc. tetrahydrofuran, cooled in ice H<sub>2</sub>O, was treated with 0.165 mole BuLi in 150 cc. Et<sub>2</sub>O over 30 min. and the mixt. stirred at room temp. 5 hrs., treated with a soln. of 18.3 g. freshly distd. Me<sub>2</sub>N(CH<sub>2</sub>)<sub>2</sub>Cl in 90 cc. Et<sub>2</sub>O over 40 min., stirred 9 hrs. at room temp., washed with water, dried over CaSO<sub>4</sub>, filtered, and distd. twice through a short column to give 28.9 g. 11-(3-dimethylamino-propyl)-5-methyl-5,6-dihydromorphanthridine (I, Y = H, R = Me), (CH<sub>2</sub>)<sub>2</sub>, R = R' = R'' = Me, very viscous liquid, b.p. 156–9°, the HCl salt was a gray, hygroscopic solid. Similarly prepd. were the I as tabulated. Also, heterocyclic derivs. (II) of I were

A	R	R'	R''	Y	b.p./mm.	m.p. dicyclohexylsulfamate
(CH <sub>3</sub> ) <sub>2</sub>	Me	PhCH <sub>2</sub>	Me	H	225°/0.2	119°
(CH <sub>3</sub> ) <sub>2</sub>	PhCH <sub>2</sub>	Me	Me	H	210–25°/0.12	127–31°
CHMeCH <sub>2</sub> CH <sub>2</sub>	Me	Me	Me	H	145–7.5°/0.12	120°
(CH <sub>3</sub> ) <sub>2</sub>	Me	PhCH <sub>2</sub>	Me	2-Cl	163–70°/0.35	122–4°

prepd. by this method (R, X, and b.p. given): Me, 1-methyl-4-piperidyl, b.p. 162–7° (m. 88–9°); Me, 3-piperidinopropyl, b.p. 190°; Me, 3-(4-methylpiperazino)propyl, b.p. 195°. Treatment of I (R' = PhCH<sub>2</sub>) with ClCO<sub>2</sub>Me or a related compd. yields an

isolable carbamate, which can be hydrolyzed to I (R' = H). Thus, 7.4 g. I (A = (CH<sub>3</sub>)<sub>2</sub>, R = R' = Me, R'' = PhCH<sub>2</sub>, Y = H) and 2.42 g. ClCO<sub>2</sub>Me in 20 cc. C<sub>6</sub>H<sub>6</sub> was refluxed 24 hrs., the mixt. steam distd., the residue dissolved in C<sub>6</sub>H<sub>6</sub>, and the soln. washed with dil. HCl and H<sub>2</sub>O, dried, and concd. to give 6.8 g. I (A = (CH<sub>3</sub>)<sub>2</sub>, R = R' = Me, R'' = MeCO<sub>2</sub>, Y = H) (III), a yellow oil. III (9.85 g.), 7 g. Ba(OH)<sub>2</sub>·8H<sub>2</sub>O, and 55 cc. HOCH<sub>2</sub>CH<sub>2</sub>OH was refluxed 9 hrs., the mixt. poured into H<sub>2</sub>O and filtered, the residue washed with C<sub>6</sub>H<sub>6</sub>, and the combined filtrates were extd. with C<sub>6</sub>H<sub>6</sub>. The org. layer was extd. with dil. HCl, which was washed with ether, chilled, made alk. with KOH, and extd. with ether. The ether sol. was dried over K<sub>2</sub>CO<sub>3</sub>, evapd., and distd. to give 2.35 g. I (A = (CH<sub>3</sub>)<sub>2</sub>, R = R' = Me, R'' = H, Y = H), b.p. 170°. Similarly prepd. were the following I (A, R, R', R'', Y, and b.p. given): (CH<sub>3</sub>)<sub>2</sub>, Me, CO<sub>2</sub>Et, Me, 2-Cl, b.p. 215–20°; (CH<sub>3</sub>)<sub>2</sub>, Me, Me, H, 2-Cl, (di-HCl salt m. 192–4°). These new compds. possess anticholinergic, analgesic, antispasmodic, antidepressant, and tranquilizing activity. They are also useful as neutralizing agents in the purification of penicillin. Virginia F. Stout

See also: Physical Organic Chemistry, Section 32. Electrochem. oxidn. of  $\alpha$ -amino acids and their lactams (Mizuno) 15. Dehydroacetic acid and its derivs. [lutidones] (Edwards) 33. Hydration of  $\alpha$ -acetylenic  $\delta$ -ethylenic alcs. [pyrones] (Colonge) 33. Ketenes reactions—reactions between ketene acetals and diphenylketene [pyrandiones] (Scarpati) 35. Synthetic applications of activated metal catalysts—action of degassed Raney Ni on *N*-alkyl-*o*-alkylanilines—formation of carbazole from aniline and related compds. in the presence of degassed Raney Ni (Jackson) 35. Synthesis of dibenzo[*a,g*]biphenylene [dinaphthofurans] (Barton) 36. Two strong electron acceptors—naphthalene-1,4,5,8-tetracarboxylic acid dianhydride and its bromo deriv. (Jacquignon) 36. Syntheses with 5-nitro-2-furo-nitrile (Sherman) 38. 1-Aminoindoles—novel rearrangement of 1,4-dihydrocinnolines [indoles] (Haddlesley) 38. H-abstracting reactions—deuteration of pyridines and pyridazines (Kawazoe) 38. Synthetic studies of flavonoids—synthesis of eryodictol 7- $\beta$ -*D*-glucoside and its methyl ether (Tarusova) 43. Synthesis of indomethacin metabolites (Strachan) 43.  $\alpha$ -Pyrone-6-carboxylic acid derivs.—structure of stizolobic and stizolobinic acids, two novel amino acids from *Stizolobium hassijoo* (Senoh) 44. New indigo synthesis (Ziegler) 46. Dyes for synthetic fibers—cationic azo dyes with or without heterocyclic nuclei (Spiliadis) 46. Catalytic reactions involving azomethines—rates and equilibria of imine formation with 3-hydroxypyridine-4-aldehyde and amino acids (French) 56.

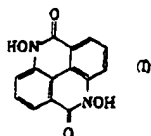
Patents: Phenylcycloalkane-carbonylurea and its acyl deriv. [pyrans] (Takamatsu) 34. 2-*N*-Substituted aminopurine derivs. [furans] (Okumura) 38. Nitrothiazole derivs. having nitrofuryl group (Sugihara) 38. Diazidocarbazoledisulfonic acids (Grotta) 46.

### 38—HETEROCYCLIC COMPOUNDS—(More Than One Hetero Atom)

F. E. BRAUNS, G. M. KOSLOPOFF, AND EDITOR EMERITUS CHARLES A. ROULLER

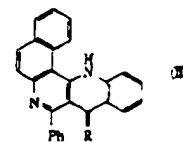
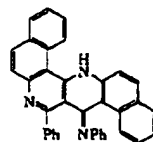
#### TWO OR MORE HETERO ATOMS IN DIFFERENT FUSED RINGS

The peracid oxidation of 4,9-diazapyrene. M. Gawlak and R. F. Robbins. *J. Chem. Soc.* 1964 (Dec.), 5135–9 (Eng.). The prepn. of 4,9-dihydroxy-4,9-diazapyrene-5,10-dione (I) confirms this as the product of oxidn. of 4,9-diazapyrene by AcOOH. An intermediate oxidn. product, the "C-hydroxy-compd." is shown to be 4-hydroxy-4,9-diazapyren-5-one. The lactam—resulting from its redn. has been synthesized. A possible



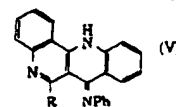
mechanism for the formation of hydroxamic acids from 4,9-diazapyrene is discussed. Some examples of intramol. nucleophilic displacement in 2,2'-disubstituted biphenyls are described and a mechanism suggested for the reaction of 4-hydroxy-4,9-diazapyren-5-one with POCl<sub>3</sub>. R.C.J.R.

Benzodiazanthracene derivatives from triarylguanidine. II. J. Moszew and E. Sledziwska (Jagiellonski Univ., Krakow, Poland). *Bull. Acad. Polon. Sci., Ser. Sci. Chim.* 12(6), 399–402 (1964) (Ger). Condensation of BzMe with 2-C<sub>6</sub>H<sub>4</sub>NH:C(NHPh)<sub>2</sub> at 200–300° yielded I, m. 293°. II (R = NPh) m. 231–2°, was prepd. and found not identical with I. Hydrolysis with HCl gave II (R = O), m. 350°, different from the hydrolysis



product of I. I was reduced with Zn to the anilino analog, m. 251–3°. J. U. Viederna

Anthracenyl derivatives of quinoline and benzodiazanthracene. J. Moszew and T. Zyczkowska (Jagiellonski Univ., Krakow). *Bull. Acad. Polon. Sci., Ser. Sci. Chim.* 12(7), 451–4 (1964) (Ger). Condensation of I- (I) and 2-acetoanthracene (II) with (PhNH)<sub>2</sub>C:NPh (III) and various diarylthioureas was investigated. I and III heated at 200–90° gave AcOH-sol. 2-(1-anthryl)-4-anilinoquinoline, m. 246°, also obtained by heating I with (PhNH)<sub>2</sub>CS (IV), and (difficultly sol. in AcOH) and (V), R = 1-anthryl of 1,2-benzo-4-(1-anthryl)-3,9-diazanthrone. Reaction of I with (4-MeC<sub>6</sub>H<sub>4</sub>NH)<sub>2</sub>CS gave 2-(1-anthryl)-4-(4-toluidino)-6-methylquinoline, m. 269–70°, which heated with

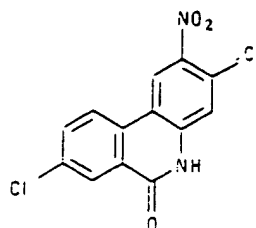


alc. KOH under pressure gave the 4-hydroxy analog, m. 306–7°. Reaction of I with (2-C<sub>6</sub>H<sub>4</sub>NH)<sub>2</sub>CS (VI) gave 2-(1-anthryl)-4-(2-naphthylamine)-5,6-benzoquinoline, m. 230°, hydrolyzed by



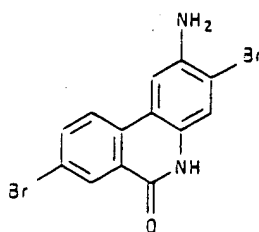
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RN 26689-68-9 ZCAPLUS



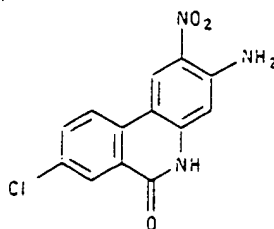
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CN 6(5*H*)-Phenanthridinone, 2-amino-3,8-dibromo- (8CI) (CA INDEX NAME)



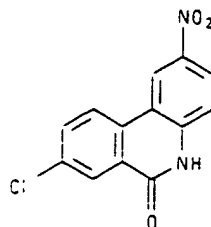
RN 26689-70-3 ZCAPLUS

CN 6(5*H*)-Phenanthridinone, 3-amino-8-chloro-2-nitro- (8CI) (CA INDEX NAME)



RN 26689-98-5 ZCAPLUS

CN 6(5*H*)-Phenanthridinone, 8-chloro-2-nitro- (8CI) (CA INDEX NAME)

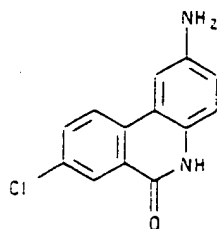


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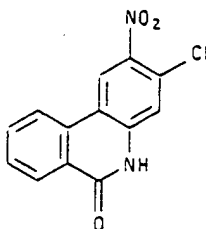
RN 26689-99-6 ZCAPLUS

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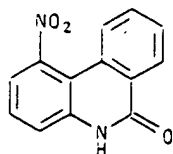
RN 26690-00-6 ZCAPLUS

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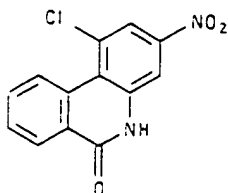
RN 26690-02-8 ZCAPLUS

CN 6(5*H*)-Phenanthridinone, 1-nitro- (8CI) (CA INDEX NAME)



RN 26690-03-9 ZCAPLUS

CN 6(5*H*)-Phenanthridinone, 1-chloro-3-nitro- (8CI) (CA INDEX NAME)

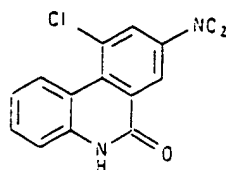


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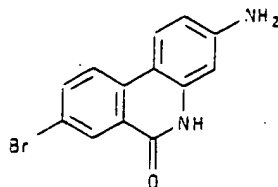
RN 26690-04-0 ZCAPLUS

CN 6(5*H*)-Phenanthridinone, 10-chloro-8-nitro- (8CI) (CA INDEX NAME)



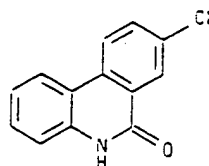
RN 26844-82-6 ZCAPLUS

CN 6(5*H*)-Phenanthridinone, 3-amino-8-bromo- (8CI) (CA INDEX NAME)



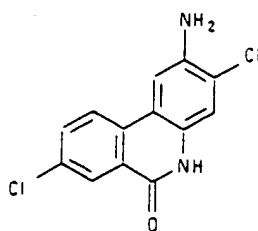
RN 26844-83-7 ZCAPLUS

CN 6(5*H*)-Phenanthridinone, 8-chloro- (8CI) (CA INDEX NAME)



RN 26844-84-8 ZCAPLUS

CN 6(5*H*)-Phenanthridinone, 2-amino-3,8-dichloro- (8CI) (CA INDEX NAME)



AB A no. of 6(5*H*)-phenanthridinones were prepd. from 9-oxofluorenes via the Schmidt reaction.

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RN 26844-84-8 ZCAPLUS

All the aminoand nitro-9-oxofluorenes used gave substituted 6(5H)-phena n-thridinones with the amino or nitro group situated in the benzene ring attached to the N of the lactam group. Uv and ir spectral data are given.

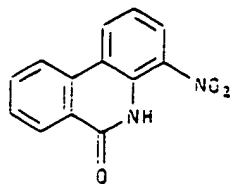
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RN 23818-43-1 ZCAPLUS

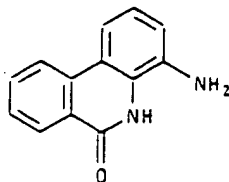
RN 23818-43-1 ZCAPLUS

CN 6(5*H*)-Phenanthridinone, 4-nitro- (6CI, 8CI, 9CI) (CA INDEX NAME)



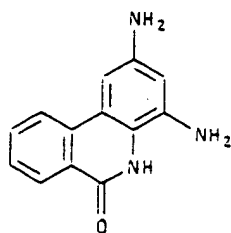
RN 23818-44-2 ZCAPLUS

CN 6(5*H*)-Phenanthridinone, 4-amino- (8CI, 9CI) (CA INDEX NAME)



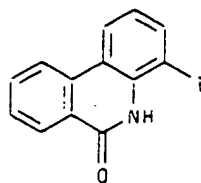
RN 23827-02-3 ZCAPLUS

CN 6(5*H*)-Phenanthridinone, 2,4-diamino- (8CI) (CA INDEX NAME)



RN 23827-03-4 ZCAPLUS

CN 6(5*H*)-Phenanthridinone, 4-iodo- (8CI) (CA INDEX NAME)

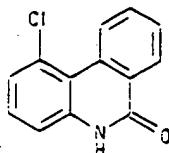


RN 27282-46-8 ZCAPLUS

# STN INTERNATIONAL®

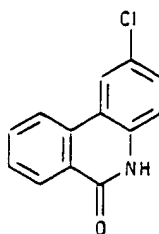
RN 27282-46-8 ZCAPLUS

CN 6(5*H*)-Phenanthridinone, 1-chloro- (8CI) (CA INDEX NAME)



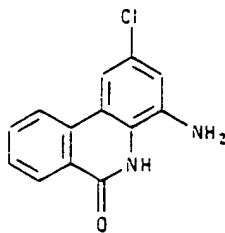
RN 27353-44-2 ZCAPLUS

CN 6(5*H*)-Phenanthridinone, 2-chloro- (6CI, 8CI, 9CI) (CA INDEX NAME)



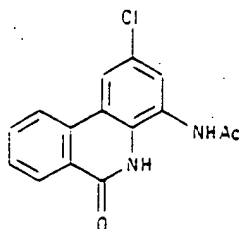
RN 27353-46-4 ZCAPLUS

CN 6(5*H*)-Phenanthridinone, 4-amino-2-chloro- (8CI) (CA INDEX NAME)



RN 27353-47-5 ZCAPLUS -

CN Acetamide, *N*-(2-chloro-5,6-dihydro-6-oxo-4-phenanthridinyl)- (8CI) (CA INDEX NAME)

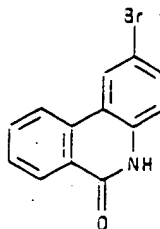


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RN 27353-48-6 ZCAPLUS

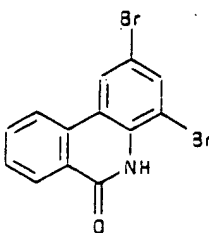
RN 27353-48-6 ZCAPLUS

CN 6(5*H*)-Phenanthridinone, 2-bromo- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



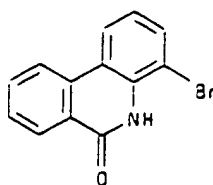
RN 27353-49-7 ZCAPLUS

CN 6(5*H*)-Phenanthridinone, 2,4-dibromo- (7CI, 8CI) (CA INDEX NAME)



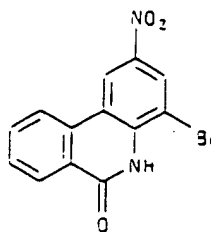
RN 27353-50-0 ZCAPLUS

CN 6(5*H*)-Phenanthridinone, 4-bromo- (8CI) (CA INDEX NAME)



RN 27353-51-1 ZCAPLUS

CN 6(5*H*)-Phenanthridinone, 4-bromo-2-nitro- (8CI) (CA INDEX NAME)

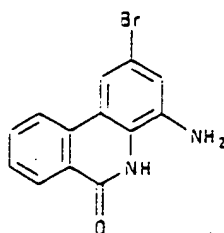


# STN INTERNATIONAL®

RN 27353-51-1 ZCAPLUS

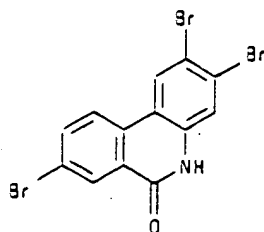
RN 27353-52-2 ZCAPLUS

CN 6(5*H*)-Phenanthridinone, 4-amino-2-bromo- (8CI) (CA INDEX NAME)



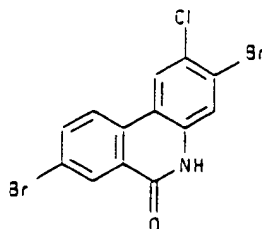
RN 27353-53-3 ZCAPLUS

CN 6(5*H*)-Phenanthridinone, 2,3,8-tribromo- (8CI) (CA INDEX NAME)



RN 27353-54-4 ZCAPLUS

CN 6(5*H*)-Phenanthridinone, 3,8-dibromo-2-chloro- (8CI) (CA INDEX NAME)



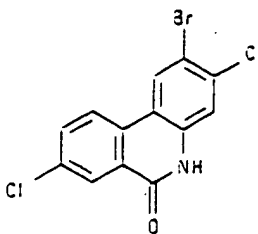
RN 27353-55-5 ZCAPLUS

CN 6(5*H*)-Phenanthridinone, 2-bromo-3,8-dichloro- (8CI) (CA INDEX NAME)



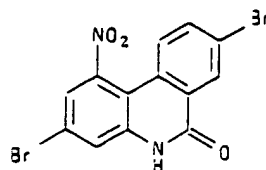
# STN INTERNATIONAL®

RN 27353-55-5 ZCAPLUS



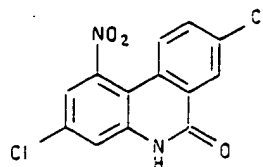
RN 27353-56-6 ZCAPLUS

CN 6(5H)-Phenanthridinone, 3,8-dibromo-1-nitro- (8CI) (CA INDEX NAME)



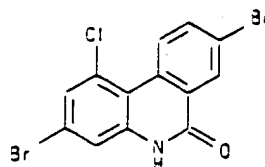
RN 27353-57-7 ZCAPLUS

CN 6(5H)-Phenanthridinone, 3,8-dichloro-1-nitro- (8CI) (CA INDEX NAME)



RN 27353-58-8 ZCAPLUS

CN 6(5H)-Phenanthridinone, 3,8-dibromo-1-chloro- (8CI) (CA INDEX NAME)

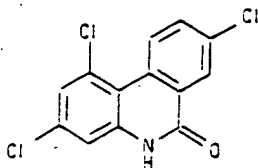


RN 27353-59-9 ZCAPLUS

CN 6(5H)-Phenanthridinone, 1,3,8-trichloro- (8CI) (CA INDEX NAME)

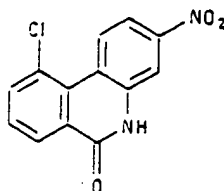
# STN INTERNATIONAL®

RN 27353-59-9 ZCAPLUS



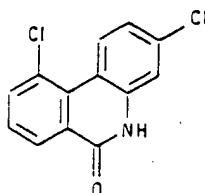
RN 27353-61-3 ZCAPLUS

CN 6(5H)-Phenanthridinone, 10-chloro-3-nitro- (8CI) (CA INDEX NAME)



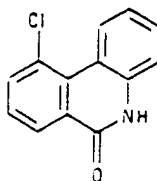
RN 27353-62-4 ZCAPLUS

CN 6(5H)-Phenanthridinone, 3,10-dichloro- (8CI) (CA INDEX NAME)



RN 27353-63-5 ZCAPLUS

CN 6(5H)-Phenanthridinone, 10-chloro- (8CI) (CA INDEX NAME)

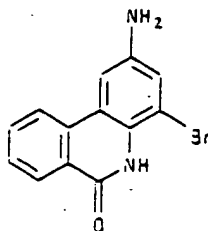


RN 27375-01-5 ZCAPLUS

CN 6(5H)-Phenanthridinone, 2-amino-4-bromo- (8CI) (CA INDEX NAME)

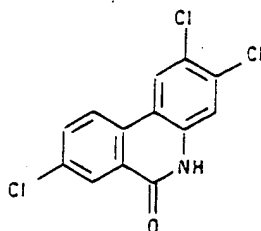
# STN INTERNATIONAL®

RN 27375-01-5 ZCAPLUS



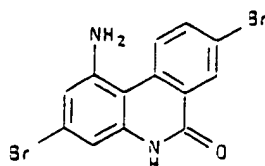
RN 27375-02-6 ZCAPLUS

CN 6(5H)-Phenanthridinone, 2,3,8-trichloro- (8CI) (CA INDEX NAME)



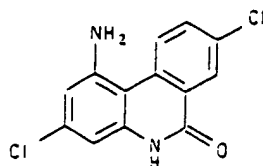
RN 27375-03-7 ZCAPLUS

CN 6(5H)-Phenanthridinone, 1-amino-3,8-dibromo- (8CI) (CA INDEX NAME)



RN 27375-04-8 ZCAPLUS

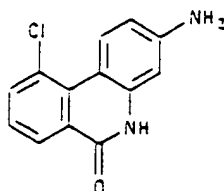
CN 6(5H)-Phenanthridinone, 1-amino-3,8-dichloro- (8CI) (CA INDEX NAME)



RN 27375-05-9 ZCAPLUS

CN 6(5H)-Phenanthridinone, 3-amino-10-chloro- (8CI) (CA INDEX NAME)

RN 27375-05-9 ZCAPLUS



**AB** Halogenation of 6(5H)-phenanthridinone or its 3,8-dihalo derivs. with N-bromo- or N-chlorosuccinimide in DMF gives the corresponding 2-halophenanthridinones. Further halogenation of 2-halo-6(5H)-phenanthridinone with the appropriate N-halosuccinimide, in the same medium, gives the corresponding 2,4-dihalo derivs. 1,3,8-Trihalo-6(5H)-phenanthridinones are prepd. from the 1-nitro derivs., which are obtained by a Schmidt rearrangement of 2,7-dihalo-4-nitro-9-oxofluorenes. Similarly, rearrangement and further reaction of 2-nitro-5-chloro-9-oxofluorene leads to 3,10-dichloro-6(5H)-phenanthridinone.

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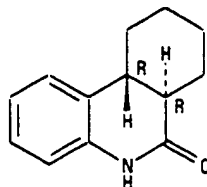
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16 SEP 1997 20:05:01

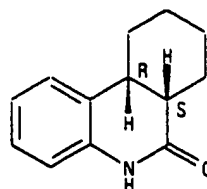
PAGE

35

L26 ANSWER 24 OF 25 ZCAPLUS COPYRIGHT 1997 ACS  
 AN 1973:29595 ZCAPLUS  
 DN 78:29595  
 TI Hexahydrogenated derivatives of phenanthridone obtained by Birch reaction  
 AU Michailidis, Anastase; Brouard, Jean Paul; Resplandy, Albert  
 CS Lab. Chim. Appl., Mus. Natl. Hist. Nat., Paris, Fr.  
 SO C. R. Acad. Sci., Ser. C (1972), 275(17), 961-4  
 CODEN: CHDCAQ  
 DT Journal  
 LA French  
 GI For diagram(s), see printed CA Issue.  
 AB The hexahydrophenanthridinone, m. 174.degree., obtained by Birch redn. of 5-benzylphenanthridinone was identified as a cis-trans mixt. of 6a,7,8,9,10,10a-hexahydro-6-phenanthridinone, by redn. to its 5,6,6a,7,8,9,10,10a-octahydro deriv., which was sepd. into its isomers by preparative gas chromatog.  
 IT \*\*\*39161-10-9P\*\*\* \*\*\*39161-20-1P\*\*\*  
 (by Birch redn. of benzylphenanthridinone)  
 RN 39161-10-9 ZCAPLUS  
 CN 6(5*H*)-Phenanthridinone, 6a,7,8,9,10,10a-hexahydro-, *trans*- (9CI) (CA INDEX NAME)  
 Relative stereochemistry.



RN 39161-20-1 ZCAPLUS  
 CN 6(5*H*)-Phenanthridinone, 6a,7,8,9,10,10a-hexahydro-, *cis*- (9CI) (CA INDEX NAME)  
 Relative stereochemistry.



L9 ANSWER 4 OF 6 CAPLUS COPYRIGHT 1998 ACS

ACCESSION NUMBER: 1973:29595 CAPLUS

DOCUMENT NUMBER: 78:29595

TITLE: Hexahydrogenated derivatives of phenanthridone  
obtained by Birch reaction

AUTHOR(S): Michailidis, Anastase; Brouard, Jean Paul;  
Resplandy, Albert

CORPORATE SOURCE: Lab. Chim. Appl., Mus. Natl. Hist. Nat., Paris,  
Fr.

SOURCE: C. R. Acad. Sci., Ser. C (1972), 275(17), 961-4  
CODEN: CHDCAQ

DOCUMENT TYPE: Journal

LANGUAGE: French

GI For diagram(s), see printed CA Issue.

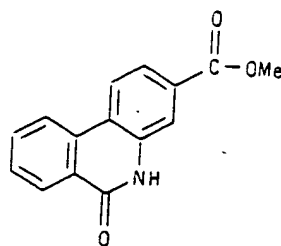
AB The hexahydrophenanthridinone, m. 174.degree., obtained by Birch  
redn. of 5-benzylphenanthridinone was identified as a cis-trans mixt.  
of 6a,7,8,9,10,10a-hexahydro-6-phenanthridinone, by redn. to its  
5,6,6a,7,8,9,10,10a-octahydro deriv., which was sepd. into its  
isomers by preparative gas chromatog.

IT \*\*\*39161-10-9P\*\*\*

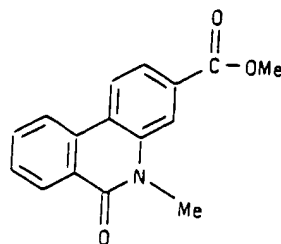
(by Birch redn. of benzylphenanthridinone)

ZCAPLUS COPYRIGHT 1999 ACS

AN 1973:29593 ZCAPLUS  
 DN 78:29593  
 TI Phenanthridine series. I. Synthesis and pharmacological properties of  
 6-phenanthridinecarboxylic acids  
 AU Cerbai, G.; Turbanti, L.; Baldacci, G. P.; Tellini, N.  
 CS Sez. Chim. Farmacol., Guidotti and Cie., Pisa, Italy  
 SO Farmaco, Ed. Sci. (1972), 27(11), 939-54  
 CODEN: FRPSAX  
 DT Journal  
 LA Italian  
 IT 39180-41-1 39180-45-5  
 (hydrolysis of)  
 RN 39180-41-1 ZCAPLUS  
 CN 3-Phenanthridinecarboxylic acid, 5,6-dihydro-6-oxo-, methyl ester (9CI) (CA INDEX NAME)



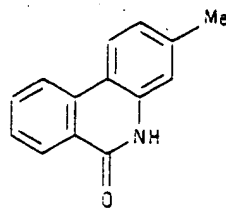
RN 39180-45-5 ZCAPLUS  
 CN 3-Phenanthridinecarboxylic acid, 5,6-dihydro-5-methyl-6-oxo-, methyl ester (9CI) (CA INDEX NAME)



IT 39161-53-0  
 (oxidn. of)  
 RN 39161-53-0 ZCAPLUS  
 CN 6(5H)-Phenanthridinone, 3-methyl- (7CI, 9CI) (CA INDEX NAME)

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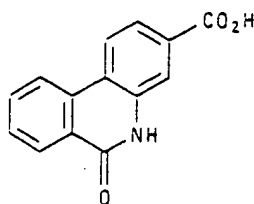
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IT 39161-52-9P 39180-44-4P 39180-46-6P  
(prepn. of)

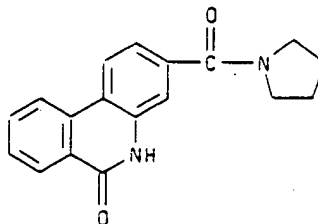
RN 39161-52-9 ZCAPLUS

CN 3-Phenanthridinecarboxylic acid, 5,6-dihydro-6-oxo- (9CI) (CA INDEX NAME)



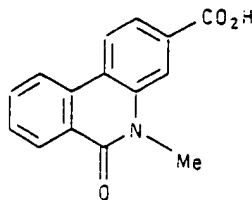
RN 39180-44-4 ZCAPLUS

CN Pyrrolidine, 1-[(5,6-dihydro-6-oxo-3-phenanthridinyl)carbonyl]- (9CI) (CA INDEX NAME)



RN 39180-46-6 ZCAPLUS

CN 3-Phenanthridinecarboxylic acid, 5,6-dihydro-5-methyl-6-oxo- (9CI) (CA INDEX NAME)



GI For diagram(s), see printed CA Issue.



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RN 39180-46-6 ZCAPLUS

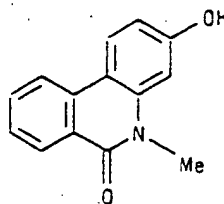
AB Phenanthridinones (I; R = H, Me; R1 = OH, 1-pyrrolidiny) and phenanthridines (II; R2 = Me, Cl, OMe; R3 = H, Me) were synthesized by 3 paths. Oxidn. of 3,6-dimethylphenanthridine, gave I (R = H, R1 = OH). Me 4-amino-3-nitrobenzoate, .fwdarw. 2,4-O2N(MeO2C)C2H3PF.fwdarw.2,4-AcNH(MeO2C)C6H3Ph.fwdarw.II(R2 = R3 = Me).fwdarw.I(R = H, R1 = OMe). 9-Fluorenone-2-carbonyl chloride.fwdarw.the 2-carbonylpyrrolidine deriv..fwdarw.I (R = H, R1 = 1-pyrrolidiny).fwdarw.I (R = H, R1 = OH) I and II had a superficial analgesic effect comparable to that of aspirin.

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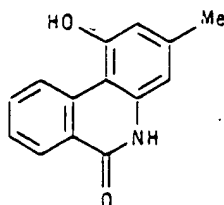
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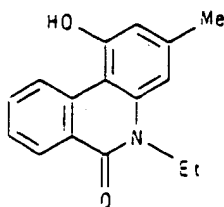
AN 1973:84227 ZCAPLUS  
 ON 78:84227  
 TI Synthesis of 6(5H)-phenanthridinones from 3,4-disubstituted coumarins and their reaction with methylmagnesium iodide to phenanthridinium iodides  
 AU Kraatz, Udo; Korte, Kriedhelm  
 CS Org.-Chem. Inst., Univ. Bonn, Bonn, Ger.  
 SO Chem. Ber. (1973), 106(1), 62-8  
 CODEN: CHBEAM  
 DT Journal  
 LA German  
 IT 40684-02-4P 40684-03-5P 40684-05-7P 40684-06-8P 40684-11-5P  
 (prepn. of)  
 RN 40684-02-4 ZCAPLUS  
 CN 6(5H)-Phenanthridinone, 3-hydroxy-5-methyl- (9CI) (CA INDEX NAME)



RN 40684-03-5 ZCAPLUS  
 CN 6(5H)-Phenanthridinone, 1-hydroxy-3-methyl- (9CI) (CA INDEX NAME)



RN 40684-05-7 ZCAPLUS  
 CN 6(5H)-Phenanthridinone, 5-ethyl-1-hydroxy-3-methyl- (9CI) (CA INDEX NAME)

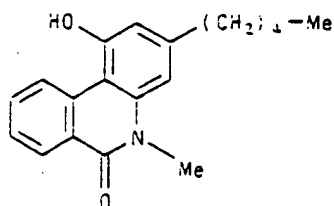


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RN 40684-05-7 ZCAPLUS

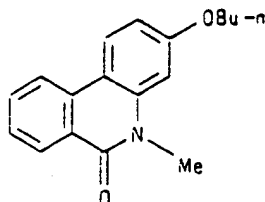
RN 40684-06-8 ZCAPLUS

CN 6(5*H*)-Phenanthridinone, 1-hydroxy-5-methyl-3-pentyl- (9CI) (CA INDEX NAME)



RN 40684-11-5 ZCAPLUS

CN 6(5*H*)-Phenanthridinone, 3-butoxy-5-methyl- (9CI) (CA INDEX NAME)

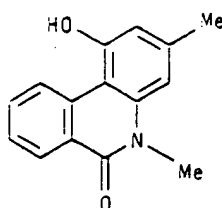


IT 40684-04-6

(quaterization of)

RN 40684-04-6 ZCAPLUS

CN 6(5*H*)-Phenanthridinone, 1-hydroxy-3,5-dimethyl- (9CI) (CA INDEX NAME)



GI For diagram(s), see printed CA Issue.

AB Reaction of the coumarins I and II (R = Me, C<sub>5</sub>H<sub>11</sub>, or OH; R<sub>1</sub> = OH or H) with R<sub>2</sub>NH<sub>2</sub> (R<sub>2</sub> = H, Me, or Et) gave 15-80% III and IV, resp., some of which were reacted with MeMgI to give the corresponding phenanthridinium compds. IV (R = BuO, R<sub>1</sub> = H, R<sub>2</sub> = Me) also gave the 5,6,6-trimethyl compd. The compds. were characterized by ir and NMR spectral data.

ZCAPLUS COPYRIGHT 1999 ACS

AN 1974:437489 ZCAPLUS  
 ON 81:37489  
 TI Compounds with stomach acid-prevention action  
 IN Cerbai, Guido; Murmann, Walter  
 PA Laboratorio Guidotti e C.S.p.A.  
 SO Ger. Offen., 31 pp.  
 CODEN: GWXXBX  
 DT Patent  
 LA German

FAN.CNT 1

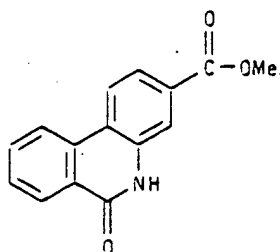
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE2355084	A1	19740516	73DE-2355084	19731103
	ZA7308479	A	19741127	73ZA-0008479	19731105
	BE-806984	A1	19740301	73BE-0137466	19731106
	NL7315191	A	19740508	73NL-0015191	19731106
	FR2205333	A1	19740531	73FR-0039363	19731106
	JP49133376	A2	19741221	73JP-0125242	19731106

PRAI 72IT-0031319 19721106

IT 39180-41-IP 39180-44-4P 52901-16-3P  
 (prepn. and hydrolysis of)

RN 39180-41-1 ZCAPLUS

CN 3-Phenanthridinecarboxylic acid, 5,6-dihydro-6-oxo-, methyl ester (9CI) (CA INDEX NAME)

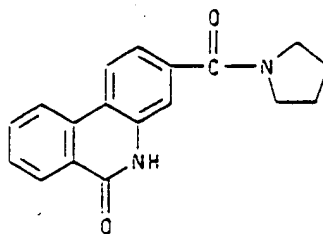


RN 39180-44-4 ZCAPLUS

CN Pyrrolidine, 1-[(5,6-dihydro-6-oxo-3-phenanthridinyl)carbonyl]- (9CI) (CA INDEX NAME)

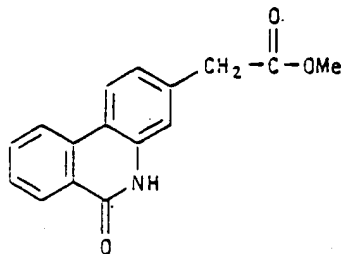
# STN INTERNATIONAL®

RN 39180-44-4 ZCAPLUS



RN 52901-16-3 ZCAPLUS

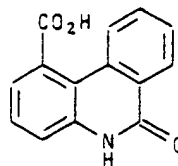
CN 3-Phenanthridineacetic acid, 5,6-dihydro-6-oxo-, methyl ester (9CI) (CA INDEX NAME)



IT 17726-57-7P 39161-52-9P 39180-45-5P 39180-46-6P 52901-13-0P 52901-15-2P  
(prepn. of)

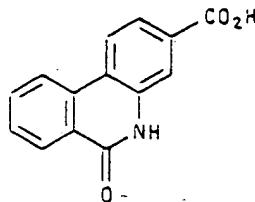
RN 17726-57-7 ZCAPLUS

CN 1-Phenanthridinecarboxylic acid, 5,6-dihydro-6-oxo- (8CI, 9CI) (CA INDEX NAME)



RN 39161-52-9 ZCAPLUS

CN 3-Phenanthridinecarboxylic acid, 5,6-dihydro-6-oxo- (9CI) (CA INDEX NAME)

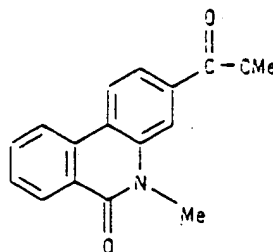


# STN INTERNATIONAL®

RN 39180-45-5 ZCAPLUS

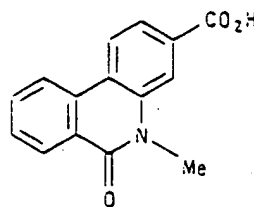
RN 39180-45-5 ZCAPLUS

CN 3-Phenanthridinecarboxylic acid, 5,6-dihydro-5-methyl-6-oxo-, methyl ester (9CI) (CA INDEX NAME)



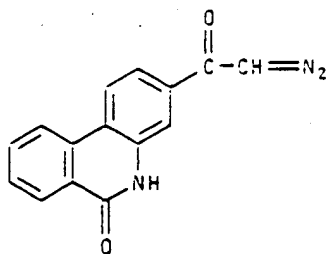
RN 39180-46-6 ZCAPLUS

CN 3-Phenanthridinecarboxylic acid, 5,6-dihydro-5-methyl-6-oxo- (9CI) (CA INDEX NAME)



RN 52901-13-0 ZCAPLUS

CN 6(5*H*)-Phenanthridinone, 3-(diazoacetyl)- (9CI) (CA INDEX NAME)

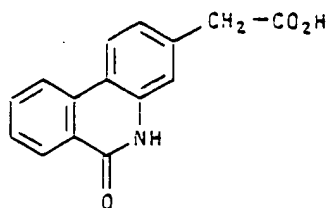


RN 52901-15-2 ZCAPLUS

CN 3-Phenanthridineacetic acid, 5,6-dihydro-6-oxo- (9CI) (CA INDEX NAME)

# STN INTERNATIONAL®

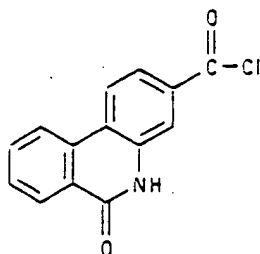
RN 52901-15-2 ZCAPLUS



IT 52901-14-1  
(reaction of, with nitromethylurea)

RN 52901-14-1 ZCAPLUS

CN 3-Phenanthridinecarbonyl chloride, 5,6-dihydro-6-oxo- (9CI) (CA INDEX NAME)



GI For diagram(s), see printed CA Issue.

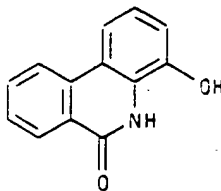
AB The oxophenanthridine-carboxylic acid I (R = H, n = 0) was prepd. by acetylating 4,3-Ph(H2N)C6H3CO2Me and cyclizing with POCl3 to Me 6-methylphenanthridine-3-carboxylate, which was oxidized to the Me ester of I (R = H, n = 0) and hydrolyzed. I (R = Me, n = 0; R = H, n = 1) were prepd. similarly.

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AN 1973:58193 ZCAPLUS  
 DN 78:58193  
 TI Phenanthridones by the Meerwein reaction  
 AU Mondon, Albert; Schattka, Kay; Krohn, Karsten  
 CS Inst. Org. Chem., Univ. Kiel, Kiel, Ger.  
 SO Chem. Ber. (1972), 105(11), 3748-53  
 CODEN: CHBEAM  
 DT Journal  
 LA German  
 IT 39954-28-4P  
 (prepn. of)  
 RN 39954-28-4 ZCAPLUS  
 CN 6(5H)-Phenanthridinone, 4-hydroxy- (9CI) (CA INDEX NAME)



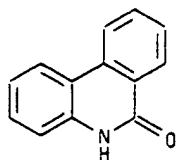
GI For diagram(s), see printed CA Issue.  
 AB The benzopyrones I (R = R1 = H or RR1 = OCH2O, X = O) were prepd. by diazotizing 2,4,5-H2NRR1C6H2CO2Me and treatment of the diazonium salt obtained with Cu(OAc)2 in the presence of II. Treatment of I with NH3 and subsequent hydrolysis gave I (X = NH). Dehydrogenation of I (R = R1 = H, X = O or NH and RR1 = OCH2O, X = NH) gave the corresponding III. The synthesis of narciprimine by this method failed because the Meerwein product I (RR1 = OCH2O, X = O) could not be nitrated at C-7.

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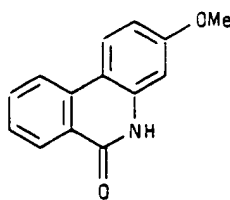
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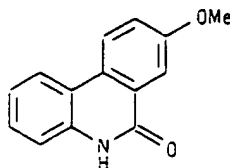
AN 1973:123624 ZCAPLUS  
 DN 78:123624  
 TI Effect of biphenyl geometry and substituents on the multiplicity and efficiency of the photocyclization reactions of 2-substituted biphenyls  
 AU Swenton, John S.; Ikeler, Theodore J.; Smyser, G. LeRoy  
 CS Dep. Chem., Ohio State Univ., Columbus, Ohio, USA  
 SO J. Org. Chem. (1973), 38(6), 1157-66  
 CODEN: JOCEAH  
 DT Journal  
 LA English  
 IT 1015-89-0P 38088-94-7P 38088-95-8P 38088-96-9P 38088-97-0P 38088-98-1P 38088-99-2P  
 (prepn. and NMR of)  
 RN 1015-89-0 ZCAPLUS  
 CN 6(5*H*)-Phenanthridinone (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



RN 38088-94-7 ZCAPLUS  
 CN 6(5*H*)-Phenanthridinone, 3-methoxy- (6CI, 9CI) (CA INDEX NAME)



RN 38088-95-8 ZCAPLUS  
 CN 6(5*H*)-Phenanthridinone, 8-methoxy- (6CI, 9CI) (CA INDEX NAME)

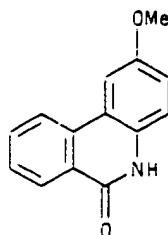


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RN 38088-96-9 ZCAPLUS

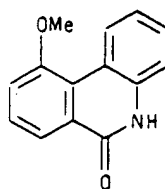
RN 38088-96-9 ZCAPLUS

CN 6(5*H*)-Phenanthridinone, 2-methoxy- (9CI) (CA INDEX NAME)



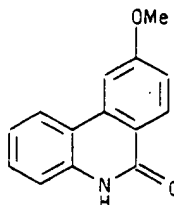
RN 38088-97-0 ZCAPLUS

CN 6(5*H*)-Phenanthridinone, 10-methoxy- (9CI) (CA INDEX NAME)



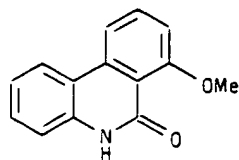
RN 38088-98-1 ZCAPLUS

CN 6(5*H*)-Phenanthridinone, 9-methoxy- (9CI) (CA INDEX NAME)



RN 38088-99-2 ZCAPLUS

CN 6(5*H*)-Phenanthridinone, 7-methoxy- (9CI) (CA INDEX NAME)



AB The direct and sensitized photochemistry of the unsubstituted, 2'-, 3'-, 4'-, 4-, and

RN 38088-99-2 ZCAPLUS

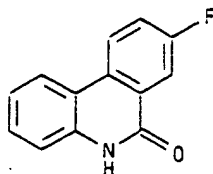
5-methoxy-2-biphenyl isocyanates are reported. Direct excitation of these compds. yields carbazoles and 6(5H)-phenanthridinones. The carbazole arises from decomn. of the isocyanate in its singlet state to a nitrene which undergoes insertion into an aromatic C-H bond. The photocyclization of the isocyanates to 6(5H)-phenanthridinones occurs most efficiently via acetone sensitization in what is formally a non-oxidative cyclization to an aromatic ring. In contrast to the insensitivity of the singlet state decarbonylation to ring substituent, the photosensitized cyclization process is enhanced by a 4'-, 4-, or 5-methoxy group and dramatically retarded by a 2'- or 3'-methoxy substituent. The related acetone sensitized photocyclizations of N-(2-propylidene)-2-aminobiphenyl and its 2'-, or 3'-, and 4'-methoxy derivs. to the corresponding 6,6-dimethyl-5,6-dihydrophenanthridines were also studied.

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AN 1976:477216 ZCAPLUS  
 DN 85:77216  
 TI Ring-fission of cyclic azo compounds, VII. 6-Fluoro- and  
 6-nitro-3-phenyl-3,4-dihydro-1,2,3-benzotriazin-4-one and their photolysis; nucleophilic substitution  
 as a test of Suschitzky's fluorine labeling method  
 AU Ege, Guenter; Arnold, Philipp; Beisiegel, Edgar; Lehrer, Irmgard; Suschitzky, Hans; Price, David  
 CS Org.-Chem. Inst., Univ. Heidelberg, Heidelberg, Ger.  
 SO Justus Liebigs Ann. Chem. (1976), (5), 946-68  
 CODEN: JLACBF  
 DT Journal  
 LA German  
 IT 60042-05-9P  
 (prepn. of)  
 RN 60042-05-9 ZCAPLUS  
 CN 6(5H)-Phenanthridinone, 8-fluoro- (9CI) (CA INDEX NAME)

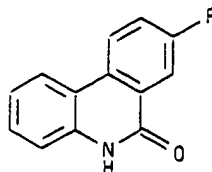


GI For diagram(s), see printed CA Issue.  
 AB The photolyses of I (X = F, NO<sub>2</sub>) were studied. Products such as II and III  
 above) occur in the photolysis, whereas the compds. I (X = 4-morpholinyl, 1-pip  
 1-pyrrolidinyl, cyclohexylamino, MeO) are formed via reactions of I (X = F) with amines or  
 MeO<sup>-</sup> in dark reactions; an intramol. diazonium anilide pair is not involved.

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ZCAPLUS COPYRIGHT 1999 ACS

AN 1976:477216 ZCAPLUS  
 DN 85:77216  
 TI Ring-fission of cyclic azo compounds, VII. 6-Fluoro- and  
 6-nitro-3-phenyl-3,4-dihydro-1,2,3-benzotriazin-4-one and their photolysis; nucleophilic substitution  
 as a test of Suschitzky's fluorine labeling method  
 AU Ege, Guenter; Arnold, Philipp; Beisiegel, Edgar; Lehrer, Irmgard; Suschitzky, Hans; Price, David  
 CS Org.-Chem. Inst., Univ. Heidelberg, Heidelberg, Ger.  
 SO Justus Liebig's Ann. Chem. (1976), (5), 946-68  
 CODEN: JLACBF  
 DT Journal  
 LA German  
 IT 60042-05-9P  
 (prepn. of)  
 RN 60042-05-9 ZCAPLUS  
 CN 6(5H)-Phenanthridinone, 8-fluoro- (9CI) (CA INDEX NAME)



GI For diagram(s), see printed CA Issue.

AB The photolyses of I (X = F, NO<sub>2</sub>) were studied. Products such as II and III  
 above) occur in the photolysis, whereas the compds. I (X = 4-morpholinyl, 1-pip.  
 1-pyrrolidinyl, cyclohexylamino, MeO) are formed via reactions of I (X = F) with amines or  
 MeO<sup>-</sup> in dark reactions; an intramol. diazonium anilide pair is not involved.

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ZCAPLUS COPYRIGHT 1999 ACS

AN 1998:8172 ZCAPLUS  
 DN 128:75320  
 TI Preparation of quinoline derivatives and analogs as steroid receptor modulator compounds and method of progesterone receptor therapy  
 IN Jones, Todd K.; Goldman, Mark E.; Pooley, Charlotte Lf; Winn, David T.; Edwards, James P.; West, Sarah J.; Tegley, Christopher M.; Zhi, Lin; Hamann, Lawrence G.; Farmer, Luc J.; Davis, Robert L.  
 PA Ligand Pharmaceuticals Inc., USA  
 SO U.S., 125 pp. Cont.-in-part of U.S. Ser. No. 363,529, abandoned.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 8

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US5696133	A	19971209	95US-0465556	19950605
WO9619458	A2	19960627	95WO-US16096	19951213
WO9619458	A3	19961212		
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RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA2208347	AA	19960627	95CA-2208347	19951213
AU9645977	A1	19960710	96AU-0045977	19951213
EP-800519	A1	19971015	95EP-0944089	19951213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
CN1175247	A	19980304	95CN-0197702	19951213
BR9510486	A	19980602	95BR-0010486	19951213
NQ9702591	A	19970814	97NO-0002591	19970606
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95US-0462643		19950605		
95US-0463231		19950605		
95US-0464360		19950605		
95US-0464541		19950605		
95US-0464546		19950605		
95US-0465429		19950605		
95US-0465556		19950605		
95WO-US16096		19951213		
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IT 97136-57-7, 3-Nitro-6(5H)-phenanthridinone				

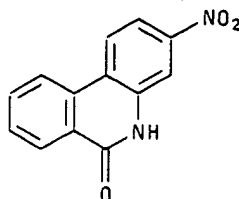
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(starting material; prepn. of quinoline derivs. as steroid receptor modulators and methods of use for disease treatment)

RN 97136-57-7 ZCAPLUS

CN 6(5H)-Phenanthridinone, 3-nitro- (6CI, 9CI) (CA INDEX NAME)



GI For diagram(s), see printed CA Issue.

AB Non-steroidal title compds. I-III and analogs are disclosed [wherein R<sup>1</sup>-R<sup>3</sup> = H, C<sub>1-6</sub> alkyl (un)substituted aryl, heteroaryl, allyl, arylmethyl, alkynyl, or alkenyl; R<sup>4</sup> = H, alkyl, COR<sup>5</sup>, OR<sup>6</sup>, NR<sup>6</sup>R<sup>7</sup>; R<sup>5</sup> = H, alkyl, (un)substituted allyl, arylmethyl, alkenyl, alkynyl, aryl, or heteroaryl; R<sup>6</sup>, R<sup>7</sup> = H, alkyl, (un)substituted allyl, arylmethyl, aryl, or heteroaryl; R<sup>9</sup>, R<sup>10</sup> = H, alkyl, (un)substituted aryl, heteroaryl, allyl, arylmethyl, alkynyl, or alkenyl; R<sup>11</sup> = H, alkyl, OR<sup>6</sup>, (un)substituted allyl, etc.; R<sup>1</sup>R<sup>2</sup>, R<sup>2</sup>R<sup>3</sup>, R<sup>1</sup>R<sup>9</sup>, R<sup>10</sup>R<sup>11</sup>, etc. may form (un)substituted 3- to 7-membered rings; Y = O, CHR<sup>6</sup>, NR<sup>6</sup>; Z = (un)substituted monocyclic aryl nucleus]. The compds. are high-affinity, high-selectivity modulators of steroid receptors, and in particular are agonists or antagonists of progesterone receptors. Methods of treatment using the compds. to effect progesterone receptor therapy are claimed. The methods are used for female hormone replacement, modulating human fertility, or treating dysfunctional uterine bleeding, endometriosis, leiomyomas, osteoporosis, cancer of the breast or ovaries, or endometrial cancer. Over 350 synthetic examples are given. For instance, Pd(PPh<sub>3</sub>)<sub>4</sub>-catalyzed biaryl coupling of 3-BrC<sub>6</sub>H<sub>4</sub>CN with [1-(tert-butoxycarbonyl)-1,2-dihydro-2,2,4-trimethyl-6-quinoliny]boronic acid and acidic deprotection with CF<sub>3</sub>CO<sub>2</sub>H gave title compd. IV in 74% yield. Selected compds. were tested in vitro and in vivo for activity at progesterone, androgen, estrogen, glucocorticoid, and mineralocorticoid receptors. In a test for antiprogesterin activity in mice, both IV at 5.0 mg/day and RU-486 at 1.0 mg/day gave complete suppression of pregnancy, with this effect for IV also being reversed by the known progesterone receptor agonist promegestone at 1.0 mg/day. Five pharmaceutical formulations are described.

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